ABSTRACT

This invention relates to oligomers containing 2'-0-alkyl purines having at the 2' position an 0 - X function where X is $R_1-(R_2)_n$; R_1 is C_3-C_{20} alkyl, C_4-C_{20} alkenyl or C_2 - C_{20} alkynyl; R_2 is halogen, hydroxyl, thiol, keto, carboxyl, nitro, nitroso, nitrile, trifluoromethyl, trifluoromethoxy, O-alkyl, S-alkyl, NH-alkyl, N-dialkyl, Oaryl, S-aryl, NH-aryl, O-aralkyl, S-aralkyl, NH-aralkyl, amino, N-phthalimido, imidazole, azido, hydrazino, hydroxylamino, isocyanato, sulfoxide, sulfone, sulfide, disulfide, silyl, aryl, heterocycle, carbocycle, intercalator, reporter molecule, conjugate, polyamine, polyamide, polyalkylene glycol, polyether, a group that enhances the pharmacodynamic properties of oligonucleotides, or a group that enhances the pharmacokinetic properties of oligonucleotides; and n is an integer from 0 to about 6. Oligomers comprising these compounds are useful for modulating the synthesis of proteins.

ABSTRACT

Novel 2'-O-alkyl guanosine compounds are provided. In accordance with preferred embodiments compounds having the structure:

wherein X is $R_1 - (R_2)_n$;

R₁ is C₃-C₂₀ alkyl, C₄-C₂₀ alkenyl or C₂-C₂₀ alkynyl;
R₂ is halogen, hydroxyl, thiol, keto, carboxyl,
nitro, nitroso, nitrile, trifluoromethyl, trifluoromethoxy,
O-alkyl, S-alkyl, NH-alkyl, N-dialkyl, O-aryl, S-aryl, NHaryl, O-aralkyl, S-aralkyl, NH-aralkyl, amino, N-phthalimido,
imidazole, azido, hydrazino, hydroxylamino, isocyanato, sulfoxide, sulfone, sulfide, disulfide, silyl, aryl, heterocycle,
carbocycle, intercalator, reporter molecule, conjugate, polyamine, polyamide, polyalkylene glycol, polyether, a group that
enhances the pharmacodynamic properties of oligonucleotides,
or a group that enhances the pharmacokinetic properties of
oligonucleotides; and

n is an integer from 0 to about 6, are provided.